* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

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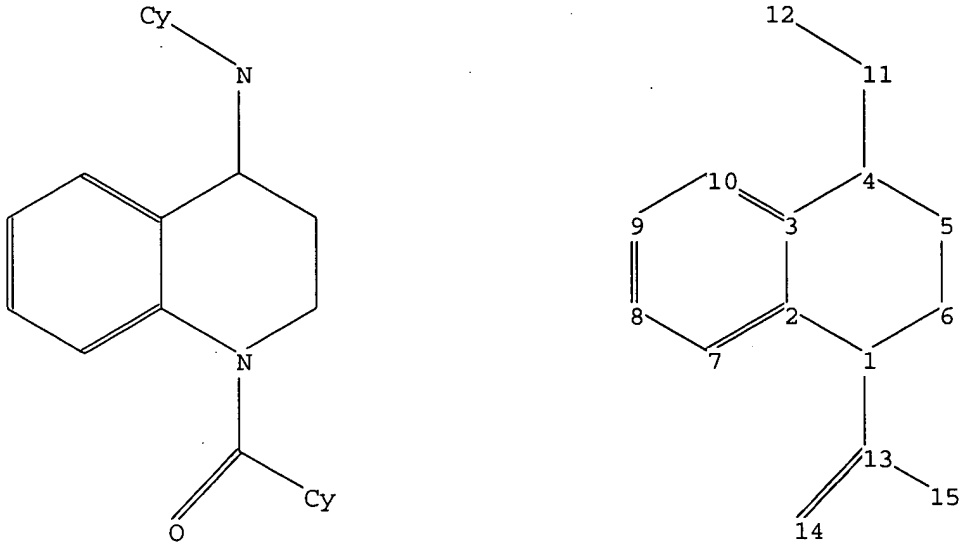
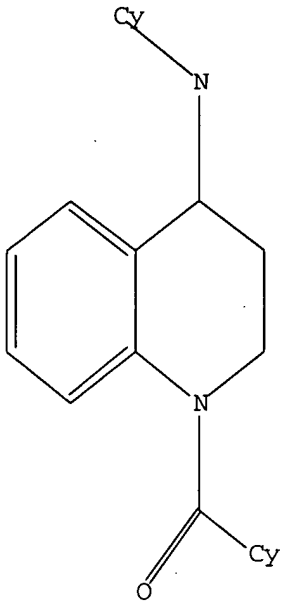


chain nodes :
11 12 13 14 15
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
1-13 4-11 11-12 13-14 13-15
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10
exact/norm bonds :
1-2 1-6 1-13 3-4 4-5 4-11 5-6 11-12 13-14 13-15
normalized bonds :
2-3 2-7 3-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 13:CLASS 14:CLASS 15:Atom
Generic attributes :
12:
Type of Ring System : Monocyclic
15:
Type of Ring System : Monocyclic

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s l1 full
L3          822 SEA SSS FUL L1

=> file ca

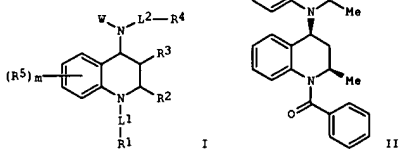

=> s l3
L4           18 L3

=> d ibib abs fhitstr 1-18
```

L4 ANSWER 1 OF 18 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:176711 CA
TITLE: N-Substituted 4-aminotetrahydroquinolines with CRTH2 and PGD2 receptor activity, and their preparation, pharmaceutical compositions, and use as asthma and allergic inflammation modulators
INVENTOR(S): Inman, Wayne D.; Liu, Jiwen; Medina, Julio C.; Miao, Shichang; Tang, Hua Lucy
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005007094	A2	20050127	WO 2004-US21735	20040707
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005038070	A1	20050217	US 2004-887341	20040707
PRIORITY APPLN. INFO.:			US 2003-485978P	P 20030709
OTHER SOURCE(S):	MARPAT 142:176711			

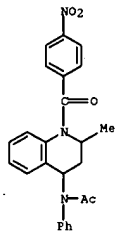
GI



I II

AB Compds., pharmaceutical compns. and methods are provided that are useful in the treatment of inflammatory and immune-related diseases and conditions. In particular, the invention provides compds. which modulate

L4 ANSWER 1 OF 18 CA COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 1 OF 18 CA COPYRIGHT 2005 ACS on STN (Continued)
the function and/or expression of proteins involved in atopic diseases, inflammatory conditions and cancer. The subject compds. are tetrahydroquinoline derivs. I [wherein: W = aryl, heteroaryl, (C1-C5)alkyl, or cyclo(C3-C5)alkyl; L1 = CO, SO2, or (C1-C4)alkylene; L2 = single bond, CO, or SO2; R1 = (C1-C5)alkyl, aryl, aryl(C1-C4)alkyl, aryl(C1-C4)alkoxy, aryl(C1-C4)alkenyl, or heteroaryl; R2 and R3 = (independently) H or (C1-C5)alkyl; R4 = (C1-C5)alkyl, aryl(C1-C4)alkyl, cyclo(C3-C5)alkyl(C1-C4)alkyl, hydroxy(C1-C4)alkyl, (C1-C4)alkoxy(C1-C4)alkyl, amino(C1-C4)alkyl, (C1-C4)alkylamino(C1-C4)alkyl, di(C1-C4)alkylamino(C1-C4)alkyl, carboxy(C1-C4)alkyl, (C1-C4)alkoxycarbonyl(C1-C4)alkyl, carbamoyl(C1-C4)alkyl and carboxy(C2-C4)alkenyl; each R5 = (independently) halo, (C1-C8)alkyl, (C1-C4)alkoxy, thio(C1-C4)alkoxy, amino, (C1-C4)alkylamino, di(C1-C4)alkylamino, halo(C1-C4)alkyl, halo(C1-C4)alkoxy, cyano, nitro, CO2R', CONR'R'', C(O)R', OC(O)R', OC(O)NR'R'', NR''C(O)R', NR''CO2R', N(R')C(O)NR''R''', NR'C(NH2):NR'', S(O)R', -SO2R', -SO2NR'R'', N3, or CH(Ph)2; two adjacent R5 may form a 5-, 6-, 7-, or 8-membered fused ring contg. the attached C atoms and 0-2 addnl. N/O/S heteroatoms; R', R'', and R''' = (independently) H, (C1-C5)alkyl, aryl, aryl(C1-C4)alkyl, or heteroaryl; optionally, when R' and R'' or R'' and R''' are attached to the same N atom, then R' and R'' or R'' and R''' may be combined to form a 5-, 6-, 7- or 8-membered ring contg. the attachment N atom and 0-2 addnl. N/O/S heteroatoms; m is 0-4; with approx. 56 specific exceptions when claimed per se]. Several synthetic examples are given. For instance, cyclocondensation of aniline with acetaldehyde gave a mixt. of cis-2-methyl-4-(phenylamino)-1,2,3,4-tetrahydroquinoline and its trans isomer. This compd. underwent a sequence of N-benzoylation with PhCOCl, deprotonation with NaH in THF, and N-acetylation with AcBr, to give invention compd. II. This compd. had an IC50 of < 0.04 μM in a human CRTH2 binding assay.

IT **296272-48-5P**, 1-(4-Nitrobenzoyl)-2-methyl-4-(N-acetyl-N-phenylamino)-1,2,3,4-tetrahydroquinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-substituted aminotetrahydroquinolines with CRTH2 and PGD2 receptor activities as asthma and allergic inflammation modulators)

RN 296272-48-5 CA
CN Acetamide, N-phenyl-N-[1,2,3,4-tetrahydro-2-methyl-1-(4-nitrobenzoyl)-4-quinolinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 18 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:171220 CA
TITLE: Highly Flexible Ligand Binding Pocket of Ecdysone Receptor: A Single Amino Acid Change Leads to Discrimination Between two Groups of nonsteroidal Ecdysone Agonists
AUTHOR(S): Kumar, Mohan B.; Potter, David W.; Hormann, Robert E.; Edwards, Angela; Tice, Colin M.; Smith, Howard C.; Dipietro, Martha A.; Polley, Mitch; Lawless, Michael; Wolohan, Philippa R. N.; Kethidi, Damodhar R.; Palli, Subba R.
CORPORATE SOURCE: RheoGene Inc., Norristown, PA, 19403, USA
SOURCE: Journal of Biological Chemistry (2004), 279(26), 27211-27218
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English

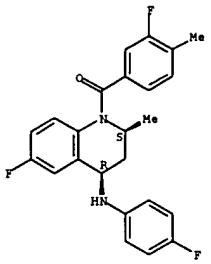
AB The insect steroid hormone 20-hydroxyecdysone works through a ligand-activated nuclear receptor, the ecdysone receptor (EcR), which plays critical roles in insect development and reproduction The EcR has been exploited to develop insecticides to control pests and gene switches for gene regulation. Recently reported crystal structures of the EcR protein show different but partially overlapping binding cavities for ecdysteroid (ECD) and diacylhydrazine (DAH) ligands, providing an explanation for the differential activity of DAH ligands in insects. 1-Aroyl-4-(arylamino)-1,2,3,4-tetrahydroquinoline (THQ) ligands were recently discovered as ecdysone agonists. Mutagenesis of the EcR (from Choristoneura fumiferana, CfEcR) ligand binding domain followed by screening in a reporter assay led to the identification of CfEcR mutants, which responded well to THQ ligands but poorly to both ECD and DAH ligands. These mutants were further improved by introducing a second mutation, A110P, which was previously reported to cause ECD insensitivity. Testing of these V128F/A110P and V128Y/A110P mutants in a C57BL/6 mouse model coactivator interaction assay and in insect cells showed that this mutant EcR is activated by THQ ligands but not by ECD or DAH ligands. The CfEcR and its V128F/A110P mutant were used to demonstrate simultaneous regulation of two reporter genes using THQ and DAH ligands.

IT **637005-72-2**, RG 120499
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(a single amino acid change in the ligand binding domain of the ecdysone receptor leads to discrimination between two groups of nonsteroidal ecdysone agonists)

RN 637005-72-2 CA
CN 4-Quinolinamine, 6-fluoro-1-(3-fluoro-4-methylbenzoyl)-N-(4-fluorophenyl)-1,2,3,4-tetrahydro-2-methyl-, (2R,4S)-rel- (9CI) (CA INDEX NAME)

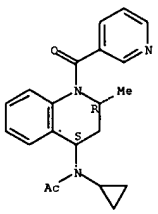
Relative stereochemistry.

L4 ANSWER 2 OF 18 CA COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 18 CA COPYRIGHT 2005 ACS on STN (Continued)
Rotation (+). Absolute stereochemistry unknown.

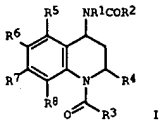


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 18 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:106384 CA
TITLE: Preparation of acylaminoquinolines as CRTH2 antagonists
INVENTOR(S): Kuhn, Cyrille; Feru, Frederic; Bazin, Marc; Awad, Mohamed; Goldstein, Steven Wayne
PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
SOURCE: Eur. Pat. Appl., 77 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1435356	A1	20040707	EP 2003-290025	20030106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			EP 2003-290025	20030106

OTHER SOURCE(S): MARPAT 141:106384
GI

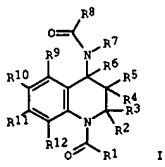


AB Quinolines I [R1 = alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, aralkyl, heteroaralkyl, cycloalkylalkyl; R2 = (un)substituted alkyl; R3 = cycloalkyl, (un)substituted aryl, heterocyclyl, aralkyl, heterocyclylalkyl; R4 = H, alkyl; R5-R8 = H, (un)substituted alkyl, NO2, CN, SO2Me, (un)substituted SO2NH2, OH, SH, CO2H, CONH2, NH2, NHSO2H, NHCHO, acyl] were prepared for use as CRTH2 antagonists with IC50 < 5μM. Thus, cis-N-(2-methyl-1,2,3,4-tetrahydroquinolin-4-yl)-N-phenylacetamide was prepared from 4- chloroquinoline in 6 steps and was treated with 2-thiophenecarbonyl chloride to give I [R1 = Ph, R2, R4 = Me, R3 = 2-thienyl, R5-R8 = H].
IT **681828-40-0P**
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PUR (Purification or recovery); PYP (Physical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(preparation of acylaminoquinolines as CRTH2 antagonists)
RN 681828-40-0 CA
CN Acetamide, N-cyclopropyl-N-[(2R,4S)-1,2,3,4-tetrahydro-2-methyl-1-(3-pyridinylcarbonyl)-4-quinolinyl]-, rel-(+)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 18 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:54208 CA
TITLE: Preparation of aminotetrahydroquinolines as antiinflammatory agents
INVENTOR(S): Kotera, Osamu; Oshima, Etsuo; Ueno, Kimihisa; Ikemura, Toshihide; Manabe, Haruhiko; Sawada, Masatsugu; Mimura, Hideki; Miyaji, Hiromasa; Nonaka, Hiromi
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

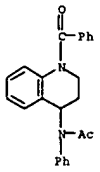
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052863	A1	20040624	WO 2003-JP15608	20031205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			JP 2002-354511	A 20021206

OTHER SOURCE(S): MARPAT 141:54208
GI



AB Title compds. I [R1 = H, (un)substituted alkyl, (un)substituted aryl, etc.; R2, R3 = H, (un)substituted alkyl, etc.; R4, R5 = H, halo, etc.; R6 = H, etc.; R7 = (un)substituted cycloalkyl, (un)substituted aryl, etc.; R8 = (un)substituted alkyl, (un)substituted aryl, etc.; R9, R10, R11, R12 = H, halo, (un)substituted alkyl, etc.] were prepared Thus, antigen-induced infiltration by eosinophils was inhibited by 48.6% by cis-I [R1 = R7 = Ph; R2 = CH3; R3 = R4 = R5 = R6 = R9 = R10 = R11 = R12 = H] at 100 mg/kg in mice. Formulations are given.
IT **681828-45-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L4 ANSWER 4 OF 18 CA COPYRIGHT 2005 ACS on STN (Continued)
(prepn. of aminotetrahydroquinolines as antiinflammatory agents)
RN 681828-45-5 CA
CN Acetamide, N-(1-benzoyl-1,2,3,4-tetrahydro-4-quinolinyl)-N-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 18 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:375082 CA
TITLE: A preparation of tetrahydroquinoline derivatives as CRTH2 antagonists
INVENTOR(S): Kuhn, Cyrille; Feru, Frederic; Bazin, Marc; Awad, Mohamed; Goldstein, Steven Wayne
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: Eur. Pat. Appl., 63 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1413306	A1	20040428	EP 2002-292606	20021021
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WO 2004035543	A1	20040429	WO 2003-IB4505	20031010
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004132772	A1	20040708	US 2003-688566	20031017
PRIORITY APPLN. INFO.:			EP 2002-292606 A	20021021
			US 2002-434896P P	20021219

OTHER SOURCE(S): MARPAT 140:375082
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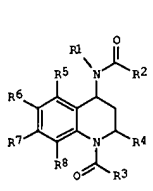
L4 ANSWER 5 OF 18 CA COPYRIGHT 2005 ACS on STN (Continued)



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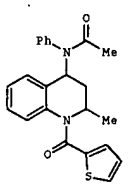

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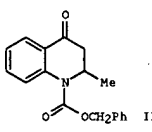

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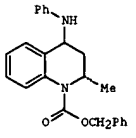
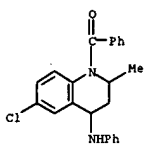


IV

AB The invention relates to a preparation of tetrahydroquinoline derivs. of formula I [wherein: R1 is H, C1-C4 alkyl, or C2-C4 ak(en/yn)yl, etc.; R2 is C1-C4 (un)substituted alkyl; R3 is C3-C6 cycloalkyl or -A-R9; R4 is H or C1-C4 alkyl; R5, R6, R7, and R8 are independently selected from halogen, NO2, CN, SO2Me, or (un)substituted C1-C4 alkyl, etc.; A is a bond, C1-C3 alkylene, or C2-C3 alkenylene; R9 is C6-C12 aryl or heterocycle], their use as medicaments and pharmaceutical compns. containing them. The invention compds. were tested as CRTH2 receptor antagonists (IC50 < 5μM). For instance, tetrahydroquinoline derivative II was prepared from the prepared quinoline III via imination, stereoselective reduction of the imine bond, N-acetylation of the obtained quinoline derivative IV, N-cleavage at the quinoline ring, and subsequent addition of 2-thiophenecarbonyl chloride (example 1).
IT **683768-44-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of tetrahydroquinoline derivs. as CRTH2 antagonists)
RN 683768-44-7 CA
CN 4-Quinolinamine, 1-benzoyl-6-chloro-1,2,3,4-tetrahydro-2-methyl-N-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 18 CA COPYRIGHT 2005 ACS on STN (Continued)

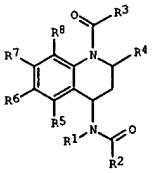


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 18 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:357218 CA
TITLE: Preparation of tetrahydroquinoline derivatives as CRTh2 antagonists
INVENTOR(S): Awad, Mohamed Mohamed Ali; Bazin, Marc; Feru, Frederic; Goldstein, Steven Wayne; Kuhn, Cyrille Francois
PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035543	A1	20040429	WO 2003-IB4505	20031010
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1413306	A1	20040428	EP 2002-292606	20021021
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.: EP 2002-292606 A 20021021
US 2002-434896P P 20021219
OTHER SOURCE(S): MARPAT 140:357218
GI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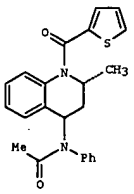
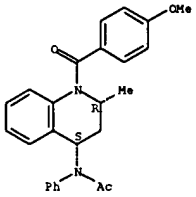

II

AB Title compds. I [R1 = H, alk(en/yn)yl, etc.; R2 = alkyl; R3 = cycloalkyl, etc.; R4 = H, alkyl; R5-8 = H, alkyl, etc.] are prepared For instance,

L4 ANSWER 6 OF 18 CA COPYRIGHT 2005 ACS on STN (Continued)
2-methyl-4-phenylimino-3,4-dihydro-2H-quinolin-1-carboxylic acid benzyl ester (prepn. given) is reduced to the corresponding cis-quinoline (HOAc, NaBH(OAc)3), deprotected (EtOH, NH4O2CH, Pd/C) and the resulting intermediate acylated with 2-thiophencarbonyl chloride (dioxane, i-Pr2NEt, 3 h) to give II. Invention compds., e.g. II, are tested as CRTh2 receptor antagonists, IC50 < 5μM. I are useful for the treatment of inflammatory disorders.
IT 679807-25-1P, cis-4-(N-Phenyl-N-acetylamino)-1-(4-Methoxybenzoyl)-2-methyl-1,2,3,4-tetrahydroquinoline
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(tetrahydroquinoline derivs. as crth2 antagonists)
RN 679807-25-1 CA
CN Acetamide, N-phenyl-N-[(2R,4S)-1,2,3,4-tetrahydro-1-(4-methoxybenzoyl)-2-methyl-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

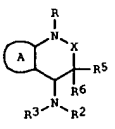


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 18 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:339203 CA
TITLE: Preparation of tetrahydroquinolinyl PGD2 receptor antagonists for the treatment of inflammatory diseases
INVENTOR(S): Ghosh, Shomir; Elder, Amy M.; Carson, Kennth G.; Sprott, Kevin; Harrison, Sean
PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 257 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004032848	A2	20040422	WO 2003-US31542	20031003
WO 2004032848	A3	20040715		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004082609	A1	20040429	US 2003-678872	20031003

PRIORITY APPLN. INFO.: US 2002-416501P P 20021004
OTHER SOURCE(S): MARPAT 140:339203
GI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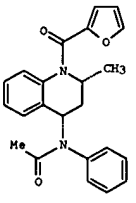
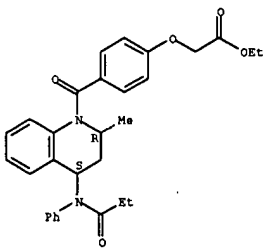

II

AB Title compds. I [A = (un)substituted monocyclic aromatic ring; R = X1R1; R2 = X2R4; R3 = (un)substituted cycloaliph. group, etc.; X = CO, bivalent alkyl; X1-2 = bond, SO, SO2, CO, etc.; R1 = H, cycloaliph. group, aromatic group, etc. provided that when X1 = bond, SO or SO2, R1 is not equal H; R4 = H, aliphatic group, etc.; R5-6 = H, alkyl] are prepared For instance, cis-4-phenylamino-2-methyl-1,2,3,4-tetrahydroquinoline (preparation given) is

L4 ANSWER 7 OF 18 CA COPYRIGHT 2005 ACS on STN (Continued)
acylated with 2-furoyl chloride (CH2Cl2, i-Pr2NEt) and the resulting intermediate acetylated (CH2Cl2, i-Pr2NEt, AcCl) to give II. Compds. I inhibit binding of PGD2 to the CRTh2 receptor; selected examples have Ki < 10 μM. Also disclosed is the use of I for inhibiting the G-protein coupled receptor referred to as chemoattractant receptor-homologous mol. expressed on CRTh2 for the treatment of inflammatory disorders.
IT 679806-12-3P, cis-[4-[2-Methyl-4-(N-phenyl-N-propionylamino)-3,4-dihydro-2H-quinoline-1-carbonyl]phenoxy]acetic acid ethyl ester
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(PGD2 receptor antagonists for treatment of inflammatory diseases)
RN 679806-12-3 CA
CN Acetic acid, [4-[[(2R,4S)-3,4-dihydro-2-methyl-4-[(1-oxopropyl)phenylamino]-1(2H)-quinolinyl]carbonyl]phenoxy]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 8 OF 18 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:35993 CA
TITLE: Tetrahydroquinolines for modulating the expression of exogenous genes via an ecdysone receptor complex
INVENTOR(S): Michelotti, Enrique L.; Tice, Colin M.; Palli, Subba Reddy; Thompson, Christine S.; Dhadialla, Tarlochan S.
PATENT ASSIGNEE(S): Rheogene, Inc., USA
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003105849	A1	20031224	WO 2003-US18796	20030613
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1513530	A1	20050316	EP 2003-737088	20030613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.:
US 2002-388353P P 20020613
US 2003-460820 A 20030612
WO 2003-US18796 W 20030613

OTHER SOURCE(S): MARPAT 140:35993

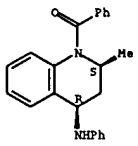
AB This invention relates to a method to modulate exogenous gene expression in which an ecdysone receptor complex comprising: a DNA binding domain; a ligand binding domain; a transactivation domain; and a ligand is contacted with a DNA construct comprising: the exogenous gene and a response element; wherein the exogenous gene is under the control of the response element and binding of the DNA binding domain to the response element in the presence of the ligand results in activation or suppression of the gene. The ligands comprise a class of 4-tetrahydorquinolines.

IT **26343-39-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tetrahydroquinolines for modulating the expression of exogenous genes via an ecdysone receptor complex)

RN 26343-39-5 CA
CN 4-Quinolinamine, 1-benzoyl-1,2,3,4-tetrahydro-2-methyl-N-phenyl-, (2R,4S)-rel- (9CI) (CA INDEX NAME)

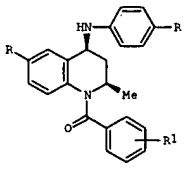
Relative stereochemistry.

L4 ANSWER 8 OF 18 CA COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 18 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:245878 CA
TITLE: Synthesis and SAR of cis-1-benzoyl-1,2,3,4-tetrahydroquinoline ligands for control of gene expression in ecdysone responsive systems
AUTHOR(S): Smith, Howard C.; Cavanaugh, Caitlin K.; Friz, Jennifer L.; Thompson, Christine S.; Saggers, Jessica A.; Michelotti, Enrique L.; Garcia, Javier; Tice, Colin M.
CORPORATE SOURCE: RHeoGene, Spring House, PA, 19477-0949, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(11), 1943-1946
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:245878
GI



I

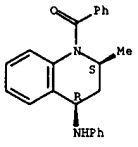
AB Cis-1-Benzoyl-2-methyl-4-(phenylamino)-1,2,3,4-tetrahydroquinolines I [R = H, F, Me; R1 = H, 2-F, 2-Me, 2-MeO, 2-F3C, 3-F, 3-Me, 3-MeO, 3-F3C, 4-Cl, 4-Me, 4-MeO, 4-F3C] were prepared I were assayed for their ability to cause expression of a reporter gene downstream of an ecdysone response element in a mammalian cell line engineered to express the ecdysone receptor from Aedes aegypti. In general, I [R = H, F] with small lipophilic substituents at the meta and para-positions of the benzoyl ring were the most potent.

IT **26343-39-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and SAR of cis-1-benzoyl-1,2,3,4-tetrahydroquinoline ligands for control of gene expression in ecdysone responsive systems)

RN 26343-39-5 CA
CN 4-Quinolinamine, 1-benzoyl-1,2,3,4-tetrahydro-2-methyl-N-phenyl-, (2R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 9 OF 18 CA COPYRIGHT 2005 ACS on STN (Continued)

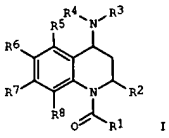


REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 18 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:177981 CA
TITLE: Tetrahydroquinolines, apolipoprotein A-I formation promoters, and pharmaceuticals containing them
INVENTOR(S): Abe, Hiroyuki; Nagata, Masafumi; Hata, Takahiro
PATENT ASSIGNEE(S): Japan Tobacco, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 73 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002053557	A2	20020219	JP 2000-245849	20000814
PRIORITY APPLN. INFO.:			JP 2000-245849	20000814
OTHER SOURCE(S):	MARPAT 136:177981			

GI



I

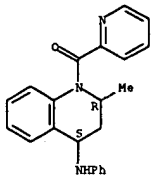
AB Title promoters, useful as hypolipemics and antiarteriosclerotics, comprise tetrahydroquinolines I [R1 = H, C1-4 alkoxy, etc.; R2 = C1-4 alkyl, aryl; R3 = (un)substituted aryl, (un)substituted (condensed) heterocyclyl; R4 = H, C1-4 alkyl; R5, R8 = H, C1-4 alkyl, C1-4 alkoxy; R6, R7 = H, halo, C1-4 alkyl, C1-4 alkoxy, OH], their prodrugs, or salts. 4-Methoxyaniline was cyclocondensed with MeCHO to give 18% cis-2-methyl-6-methoxy-4-[(4-methoxyphenyl)amino]-1,2,3,4-tetrahydroquinoline, which was acetylated by AcCl to give 26% I (R1 = R2 = Me, R3 = 4-methoxyphenyl, R4 = R5 = R7 = R8 = H, R6 = OMe) (II). II (10 μM) in vitro increased production of apolipoprotein A-I in HepG2 cells 168% based on control.

IT **302558-09-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydroquinolines as apolipoprotein A-I formation promoters)

RN 302558-09-4 CA
CN 4-Quinolinamine, 1,2,3,4-tetrahydro-2-methyl-N-phenyl-1-(2-pyridinylcarbonyl)-, (2R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

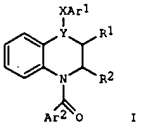
L4 ANSWER 10 OF 18 CA COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 11 OF 18 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 135:313624 CA
TITLE: Soluble β-amyloid precursor protein secretion promoters and preparation thereof
INVENTOR(S): Kakihana, Mitsuru; Kato, Kaneyoshi; Mori, Masaaki; Yamashita, Toshiro
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001076629	A1	20011018	WO 2001-JP2961	20010405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2405163	AA	20011018	CA 2001-2405163	20010405
EP 1283055	A1	20030212	EP 2001-919795	20010405
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2001348332	A2	20011218	JP 2001-108395	20010406
US 2003216398	A1	20031120	US 2002-240996	20021004
PRIORITY APPLN. INFO.:			JP 2000-111912 A	20000407
			WO 2001-JP2961 W	20010405
OTHER SOURCE(S):	MARPAT 135:313624			

GI



I

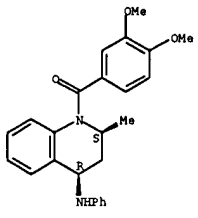
AB Disclosed are compds. represented by the following general formula I, salts thereof or prodrugs thereof, use of the same, and a process for producing the same wherein R1, R2 = H, lower alkyl, etc.; the ring A represents an optionally substituted benzene ring; X = O, etc.; and Y represents CH or N. Because of having a potent effect of promoting the secretion of soluble β-amyloid precursor proteins (sAPP), these compds. and the like inhibit functional disorders and apoptosis of cells (in particular, nerve cells) mediated by the thus secreted soluble β-amyloid precursor proteins having a neurotrophic factor-like effect. A compound

L4 ANSWER 11 OF 18 CA COPYRIGHT 2005 ACS on STN (Continued)
cis-(4-anilino-2-methyl-3,4-dihydro-1(2H)-quinolinyl)(2-furyl)methane was prepd., and its promotion effect on sAPP secretion and inhibitory effect on apoptosis in PC12h cells were examd.

IT **367508-91-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of tetrahydro quinolinamine derivs. having soluble β-amyloid precursor protein secretion-promoting effects and apoptosis-inhibiting effects)

RN 367508-91-6 CA
CN 4-Quinolinamine, 1-(3,4-dimethoxybenzoyl)-1,2,3,4-tetrahydro-2-methyl-N-phenyl-, (2R,4S)-rel- (9CI) (CA INDEX NAME)

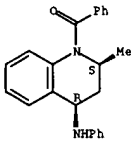
Relative stereochemistry.



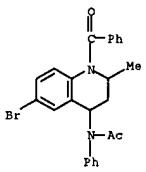
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 18 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 72:31075 CA
TITLE: Configuration and conformation of so-called bis(alkylidenearylamines)
AUTHOR(S): Funabashi, Masuo; Iwakawa, Masaharu; Yoshimura, Juji
CORPORATE SOURCE: Tokyo Inst. Technol., Tokyo, Japan
SOURCE: Bulletin of the Chemical Society of Japan (1969), 42(10), 2885-94
CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 72:31075
GI For diagram(s), see printed CA Issue.
AB The proposed structures of the dimeric products obtained from aliphatic aldehydes and arylamines were reexamd. by ir and NMR spectra. The 1,2,3,4-tetrahydroquinoline structure was ascertained in the case of acH or propionaldehyde, and aldolic structure was confirmed in the case o f butyraldehyde. The latter readily isomerizes to the former type in the presence of HO Ac. Conformational anal. of a racemic pair of the former (Ia-c: 2,4-disub- -stituted, Id: 2,3,4-trisubstituted) indicated that two isomers of Ia-c (one has 2-equatorial, 4-quasi-equatorial and the other 2-equatorial, 4-quasi-axial substituents) have a flattened half-chair conformation and two isomers of Id (one has 2,3-diequatorial, 4-quasi-equatorial, and the other 2-equatorial, 3-axial, 4-quasiaxial substituents) have a more remarkably flattened half-chair, i.e. a nearly plane structure. The acylation of ring N enhanced this tendency, and one of the 1-acetyl derivs. of I was deduced to have a twist half-boat conformation.
IT **26343-39-5**
RL: PRP (Properties)
(nuclear magnetic resonance of)
RN 26343-39-5 CA
CN 4-Quinolinamine, 1-benzoyl-1,2,3,4-tetrahydro-2-methyl-N-phenyl-, -(2R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

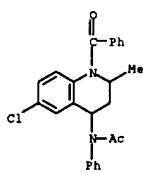


L4 ANSWER 13 OF 18 CA COPYRIGHT 2005 ACS on STN (Continued)

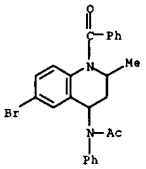


L4 ANSWER 13 OF 18 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 69:27206 CA
TITLE: Intramolecular donor-acceptor interaction in 2-Tethyl-4-anilino-1,2,3,4-tetrahydroquinoline and its derivatives
AUTHOR(S): Zalukaev, L. P.; Spitsyna, L. Ya.
CORPORATE SOURCE: Voronezhsk. Univ., Voronezh, USSR
SOURCE: Trudy Problemnoi Laboratorii Khimii Vysokomolekulyarnykh Soedinenii, Voronezhskii Gosudarstvennyi Universitet (1966), No. 4, 5-16
CODEN: TPLKAR; ISSN: 0372-0764
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB The activity of the title compds. (I) in chemical reactions is due to the donor-acceptor relation between the aniline and the tetrahydroquinoline groups. The theory was justified by acylation, halogenation, and hydrolysis of several derivs. of I. Thus, 2 g. I (R1 = R2 = Ac, X1 = X2 = X4 = H, X3 = Br) was refluxed 10 hrs. in 12% alc. KOH and diluted with water to give 56% I (R1 = Ac, R2 = X1 = X2 = X4 = H, X3 = Br), m. 119° (EtOH). I (6 g.) (R1 = Ac, R2 = X1 = X2 = H, X3 = X4 = Br) remained unchanged after refluxing in 20% alc. KOH for 50 hrs. Cl was passed through a solution of 6 g. I (R1 = R2 = Ac, X1 = X2 = X3 = X4 = H) in 100 ml. CCl4 for 1 hr. Next day the mixture was treated with NaHCO3 to give 40% I (R1 = R2 = Ac, X1 = X2 = X4 = H, X3 = Cl), m. 171° (EtOH). This was boiled 14 hrs. in 22% alc. KOH to give 1 g. I (R1 = Ac, R2 = X1 = X2 = X4 = H, X3 = Cl); R2 = Bz derivative m. 210°. To a mixture of 3 g. I (R1 = R2 = Ac, X1 = X2 = X3 = X4 = H), 10 ml. concentrated H2SO4, and 3 ml. AcOH at 0-5° was added a mixture of 4 ml. concentrated HNO3 and 4 ml. 70% HNO3. After 3 hrs. the solution was diluted with water and NaHCO3 to precipitate 1.3 g. I (R1 = R2 = Ac, X1 = X2 = X4 = H, X3 = NO2), m. 173° (EtOH). The previous experiment was repeated with the reaction mixture kept overnight to give I (R1 = R2 = Ac, X1 = X4 = H, X2 = X3 = NO2), m. 234-5°. A mixture of 4 g. I (X1 = X3 = X4 = R1 = H, X2 = Br, R2 = Bz) in 100 ml. CHCl3 and 2 g. Br was allowed to stand 3 hrs. and treated with NaHCO3 and EtOH to give 2.6% g. I (R2 = Bz, R1 = X3 = X4 = H, X1 = X2 = Br), m. 239° (EtOH). This (1.4 g.) was refluxed 10 hrs. in 15% alc. KOH to give 0.55 g. I (X1 = X2 = Br, R1 = R2 = X3 = X4 = H), m. 140°, and 0.45 g. of this was kept overnight with 10 ml. AcOH, then boiled 4 hrs. to give 0.42 g. I (X1 = X2 = Br, X3 = X4 = H, R1 = R2 = Ac), m. 163°. I (R2 = X1 = X3 = X4 = H, X2 = Br, R2 = Bz) (4 g.) refluxed 15 hrs. in 250 ml. 25% H2SO4 and subsequently 5 hrs. in Ac2O gave a mixture of I (R1 = R2 = Ac, X1 = X2 = Br, X3 = X4 = H) and I (R1 = R2 = Ac, X1 = X2 = X3 = X4 = H). I (R1 = R2 = Bz, X1 = X2 = X4 = H, X3 = Br) (5 g.) treated similarly 10 hrs. gave a mixture of deacylated products, but if treated first with KOH then with 50% H2SO4 it gave 2-methyl-6-bromoquinoline, m. 98°; picrate m. 217°.
IT **13125-49-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 13125-49-0 CA
CN Acetamide, N-(1-benzoyl-6-bromo-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl)-N-phenyl- (9CI) (CA INDEX NAME)

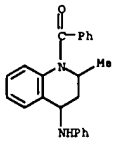
L4 ANSWER 14 OF 18 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 67:53250 CA
TITLE: Bimolecular alkylidenearylamines. XI. New data on intermolecular donor-acceptor reactions in 4-anilino-2-methyl-1,2,3,4-tetrahydroquinolines
AUTHOR(S): Zalukaev, L. P.; Spitsyna, L. Ya.
CORPORATE SOURCE: Voronezhsk. Gos. Univ., Voronezh, USSR
SOURCE: Zhurnal Organicheskoi Khimii (1967), 3(4), 753-6
CODEN: ZORKAE; ISSN: 0514-7492
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB cf. CA 65: 15179f. A series of the title compds. (I) was prepared Unusual chemical behavior of some I, as instability of strong alkali to remove Ac group from I (X1 = X2 = X4 = H, X3 = Br, R1 = Ac, R2 = H), was discussed in terms of electron intermol. interactions, called p,p-electron interactions, which promoted homolytic, rather than heterolytic chemical attack. A solution of I (X1 = X2 = X3 = X4 = H, R1 = R2 = Ac) (II), m. 187°, which was prepared earlier Elektron. Khim. Kardiol, 1, 189(1964); 2, 89(1965); 3, 117(1966)] in 100 ml. CCl4 was saturated with HCl gas to give 40% I (X1 = X2 = X4 = H, X3 = Cl, R1 = R2 = Ac) (III), m. 171°. Boiling III 14 hrs. with 22% alc. NaOH solution gave 45% I (X1 = X2 = X4 = H, X3 = Cl, R1 = Ac, R2 = H) (IV), m. 179°. Action of Ac2O on IV gave III and BzCl gave I (X1 = X2 = X4 = H, X3 = Cl, R1 = Ac, R2 = Bz) (V), m. 210°. Similarly, chlorination of I (X1 = X2 = X3 = X4 = H, R1 = Ac, R2 = Bz) with HCl gas gave V proving attachment of Ac group to anilino N in IV. Nitration of 3 g. II in 10 ml. H2SO4 3 ml. AcOH solution at 4-5° by a slow addition of 4 ml. H2SO4 and 4 ml. 70% HNO3, followed by keeping 4 hrs. at room temperature gave 38% I (X1 = X2 = X4 = H, X3 = NO2, R1 = R2 = Ac) (VI), m. 173° (alc.). Hydrolysis of VI according to Zalukaev (CA 59: 9973b) gave 6-nitroquinaldine, m. 172°, and PhNH2. Longer nitration time of II (overnight standing) gave I (X1 = X4, X2 = X3 = NO2, R1 = R2 = Ac), m. 234-5° (alc.), which on acid hydrolysis gave 2-methyl-6-nitroquinoline, m. 172°, and p-O2NC6H4NH2, m. 147°. Attempted deacylation of known I (X1 = X2 = H, X3 = X4 = Br, R1 = Ac, R2 = H) (VII), m. 186°, by boiling 50 hrs. in 20% alc. NaOH gave only VII.
IT **17117-38-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 17117-38-3 CA
CN Acetamide, N-(1-benzoyl-6-chloro-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl)-N-phenyl- (9CI) (CA INDEX NAME)



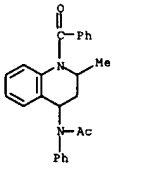
L4 ANSWER 15 OF 18 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 65:81601 CA
ORIGINAL REFERENCE NO.: 65:15179e-g
TITLE: Bimolecular alkylidene aryl amines. X. Intramolecular donor-acceptor interaction in 2-methyl-4-anilino-1,2,3,4-tetra- hydroquinoline
AUTHOR(S): Zalukaev, L. P.; Spitsyna, L. Ya.
CORPORATE SOURCE: State Univ., Voronezh
SOURCE: Zhurnal Obshchei Khimii (1966), 36(6), 1052-5
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB cf. CA 62, 3908c. 1-Benzoyl-2-methyl-4-(4-bromoanilino)-1,2,3,4-tetrahydroquinoline (I), m. 220°, and Br in CHCl3 gave in 3 hrs. 56% 2,4-dibromoanilino analog, m. 239°, which heated 10 hrs. with alc. KOH gave 63.5% product, m. 140°, which with Ac2O overnight gave 75% N-acetyl-2-methyl-4-(2,4-dibromoacetylanilino)-1,2,3,4-tetrahydroquinoline (II), m. 163°. I heated on a steam bath with 25% alc. KOH 15 hrs. and the product treated 5 hrs. with Ac2O gave II and the analogous α-isomer, m. 186-7°, of the diacetyl derivative Alc. KOH and N-acetyl-2-methyl-4-(acetylanilino)-6-bromo-1,2,3,4-tetrahydroquinoline in 10 hrs. heating gave 56% 2-methyl-4-(acetylanilino)-6-bromo-1,2,3,4-tetrahydroquinoline, m. 199°, which was unchanged in 60 hrs. heating with EtONa-EtOH and gave a monobenzoyl derivative, m. 219°. The results confirm the existence of intramol. complexes with charge transfer among tetrahydroquinoline derivs. involving one electron. Since bromination gave only the 6-bromo derivative, without any 4-
or 4,6-dibromo derivs., the strong mutual interaction of the aromatic rings is confirmed.
IT 13125-49-0, Quinaldine, 1-benzoyl-6-bromo-1,2,3,4-tetrahydro-4-(N-phenylacetamido)-
(preparation of)
RN 13125-49-0 CA
CN Acetamide, N-(1-benzoyl-6-bromo-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl)-N-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 18 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 62:22149 CA
ORIGINAL REFERENCE NO.: 62:3908c-e
TITLE: Bimolecular alkylidenearylamines. IX. Steric structure of 2-methyl-4-anilino-1,2,3,4-tetrahydroquinolines
AUTHOR(S): Zalukajevs, L.; Spitsina, L.
CORPORATE SOURCE: State Univ., Voronezh
SOURCE: Zhurnal Obshchei Khimii (1964), 34(10), 3392-5
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. CA 59, 9973b. 2-Methyl-4-anilino-1,-2,3,4-tetrahydroquinoline (I), m. 126°, and BzCl in 10% aqueous NaOH at 10-12° gave 1-Bz derivative (II), m. 217-18°; this in Schotten-Baumann benzoylation in 6-7 hrs. gave the di-Bz compound (III), m. 200-1°. The latter heated 5 hrs. with alc. KOH gave II, while in 8 hrs. I was formed. III was brominated in CHCl3 to C30H23BrN2O2, m. 182°, which heated with 50% H2SO4, steam-distilled, and treated with Ac2O to remove PhNH2 gave 6-bromoquinaldine, m. 98°. Benzoylation of isomer (IV) of I, m. 86°, in 10% NaOH with BzCl at 10-12° gave III; similar reaction at 30-5° gave II and some BzNHPh. BzCl and isomer (V) of I, m. 114°, in 10% NaOH at 15-16° gave III; the same III formed from I isomer (VI), m. 78°. The results showed that I is 2e,4a form with axial H-N group at the quinoline nucleus which can form an intramol. H bridge to N. The equatorial H of 2e,4a form can readily pass into the axial position with energy gain owing to H bridge formation. V therefore is 2e,4e form with equatorial position of H at the nuclear N. VI has equatorial position of the H atom. Whether the conversion of IV into I occurs through VI is not established. I is more stable than IV, however.
IT 857-45-4, Quinaldine, 4-anilino-1-benzoyl-1,2,3,4-tetrahydro-
(conformation of)
RN 857-45-4 CA
CN Quinaldine, 4-anilino-1-benzoyl-1,2,3,4-tetrahydro- (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 17 OF 18 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 59:54789 CA
ORIGINAL REFERENCE NO.: 59:9973b-d
TITLE: Bimolecular alkylidenearylamines. VIII. Synthesis and bromination of 2-methyl-4-N-acetylanilino-1,2,3,4-tetrahydroquinoline
AUTHOR(S): Zalukajevs, L.; Spitsina, L. Ya.
SOURCE: Zhurnal Obshchei Khimii (1963), 33(6), 1956-8
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB cf. CA 56, 15481e. 2-Methyl-1-acetyl-4-N-acetylanilino-1,2,3,4-tetrahydroquinoline (28.8 g.) mixed with 86 cc. 10% alc. KOH and the mixture left 1 day and heated 10 hrs. on the water bath gave 16.8 g. 2-methyl-4-Nacetylanilino-1,2,3,4-tetrahydroquinoline (I), m. 161° (alc.); 1-benzoyl derivative m. 183°. Br (4 g.) in CHCl3 was added to 5.5 g. I dissolved in 50 cc. CHCl3, the obtained oil heated to remove CHCl3, washed with H2O and NaHCO3 solution with a little alc., and the resulting oil solidified quickly to give 5.6 g. 2-methyl-6,8-dibromo-4-N-acetylanilino-1,2,3,4-tetrahydroquinoline (II), m. 186° (alc.). II (8 g.) boiled 5 hrs. with 50% H2SO4, the mixture cooled, neutralized, distilled
with steam, the obtained solution extracted with ether, the ethereal solution dried
with KOH, ether distilled, and the residue dissolved in MeOH gave 2-methyl-6,8-dibromoquinoline, m. 100°; picrate m. 155° (MeOH).
IT 95868-01-2, Quinaldine, 1-benzoyl-1,2,3,4-tetrahydro-4-(N-phenylacetamido)-
(preparation of)
RN 95868-01-2 CA
CN Acetamide, N-(1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl)-N-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 18 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 48:56687 CA
ORIGINAL REFERENCE NO.: 48:10024d-e
TITLE: Bimolecular alkylidenearylamines. II. Structure of the products of bromination of 1-benzoyl-2-methyl-4-anilino-1,2,3,4-tetrahydroquinoline
AUTHOR(S): Zalukajevs, L.
SOURCE: Latvijas PSR Zinatnu Akademijas Vestis (1951) 469-72
CODEN: LZAVAL; ISSN: 0132-6422
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB In previous work it was shown that bimol. ethylideneaniline, m. 126°, is trans-2-methyl-4-anilino-1,2,3,4-tetrahydroquinoline and not trans-1,3-dianilino-1-butene. Its Mono-Bz derivative (I) (3 g.) in CHCl3
with 1 g. Br gave 3 g. colorless solid, m. 160-2° (after exposure to air), which is a HBr salt, since with NaHCO3 it liberates CO2 from the latter, yielding a base C23H21ON2Br, m. 211-12°. This refluxed 5 h. with 1:1 H2SO4 gave quinaldine and p-BrC6H4NH2 (isolated as the Ac derivative). I (6.5 g.) with 3.05 g. Br gave C23H20ON2Br2, m. 239°, forming a HBr salt, m. 180-6°; hydrolysis of this with H2SO4 and treatment with BzCl gave quinaldine and 2,4-Br2C6H3NH2 (Bz derivative, m. 133-4°).
IT 857-45-4, Quinaldine, 4-anilino-1-benzoyl-1,2,3,4-tetrahydro-
(and derivs.)
RN 857-45-4 CA
CN Quinaldine, 4-anilino-1-benzoyl-1,2,3,4-tetrahydro- (7CI, 8CI) (CA INDEX NAME)

10/678,872

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L1               STRUCTURE UPLOADED
L2            37 S L1 SAM
L3           822 S L1 FULL

     FILE 'CA' ENTERED AT 15:10:39 ON 26 APR 2005
L4            18 S L3

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---Logging off of STN---


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Executing the logoff script...


=> LOG Y

STN INTERNATIONAL LOGOFF AT 15:11:06 ON 26 APR 2005
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